

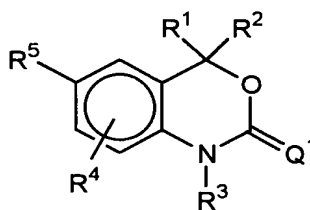
## AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1(Currently Amended). A method of inducing contraception comprising the step of delivering to a female of child-bearing age a composition comprising a compound of formula I or formula II, or a tautomer thereof, in a regimen which involves delivering a pharmaceutically effective amount of one or more of a selective estrogen receptor modulator to said female,

wherein formula I is:



I

wherein:

$R^1$  and  $R^2$  are independent substituents selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_2$  to  $C_6$  alkenyl, substituted  $C_2$  to  $C_6$  alkenyl,  $C_2$  to  $C_6$  alkynyl, substituted  $C_2$  to  $C_6$  alkynyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $COR^A$ , and  $NR^B COR^A$ ;

or  $R^1$  and  $R^2$  are fused to form a ring selected from the group consisting of a), b) and c), wherein said ring is optionally substituted by from 1 to 3 substituents selected from the group consisting of H and  $C_1$  to  $C_3$  alkyl;

a) a carbon-based 3 to 8 membered saturated spirocyclic ring;

b) a carbon-based 3 to 8 membered spirocyclic ring having one or more carbon-carbon double bonds; and

c) a 3 to 8 membered spirocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N;

$R^A$  is selected from the group consisting of H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy, amino,  $C_1$  to  $C_3$  aminoalkyl, and substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^B$  is selected from the group consisting of H,  $C_1$  to  $C_3$  alkyl, and substituted  $C_1$  to  $C_3$  alkyl;

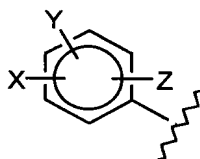
$R^3$  is selected from the group consisting of H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_6$  alkenyl, substituted  $C_3$  to  $C_6$  alkenyl, alkynyl, substituted alkynyl, and  $COR^C$ ;

$R^C$  is selected from the group consisting of H,  $C_1$  to  $C_4$  alkyl, substituted  $C_1$  to  $C_4$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_4$  alkoxy, substituted  $C_1$  to  $C_4$  alkoxy,  $C_1$  to  $C_4$  aminoalkyl, and substituted  $C_1$  to  $C_4$  aminoalkyl;

$R^4$  is selected from the group consisting of H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_1$  to  $C_6$  alkoxy, substituted  $C_1$  to  $C_6$  alkoxy,  $C_1$  to  $C_6$  aminoalkyl, and substituted  $C_1$  to  $C_6$  aminoalkyl;

$R^5$  is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the structure:



X is selected from the group consisting of halogen, CN,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  thioalkyl, substituted  $C_1$  to  $C_3$  thioalkyl,  $C_1$  to  $C_3$  aminoalkyl, substituted  $C_1$  to  $C_3$  aminoalkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, substituted  $C_1$  to  $C_3$  perfluoroalkyl, 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,

substituted 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $\text{COR}^D$ ,  $\text{OCOR}^D$ , and  $\text{NR}^E\text{COR}^D$ ;

$\text{R}^D$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl, aryl, substituted aryl,  $\text{C}_1$  to  $\text{C}_3$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_3$  alkoxy,  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl;

$\text{R}^E$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN,  $\text{NO}_2$ ,  $\text{C}_1$  to  $\text{C}_3$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_3$  alkoxy,  $\text{C}_1$  to  $\text{C}_4$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_4$  alkyl,  $\text{C}_1$  to  $\text{C}_3$  thioalkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  thioalkyl; and

b)(ii) a five or six membered carbon-based heterocyclic ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO,  $\text{SO}_2$ , and  $\text{NR}^6$  and having one or two independent substituents selected from the group consisting of H, halogen, CN,  $\text{NO}_2$ ,  $\text{C}_1$  to  $\text{C}_4$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_4$  alkyl,  $\text{C}_1$  to  $\text{C}_3$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_3$  alkoxy,  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl,  $\text{C}_1$  to  $\text{C}_3$  perfluoroalkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  perfluoroalkyl, 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $\text{C}_1$  to  $\text{C}_3$  thioalkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  thioalkyl,  $\text{COR}^F$ , and  $\text{NR}^G\text{COR}^F$ ;

$\text{R}^F$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl, aryl, substituted aryl,  $\text{C}_1$  to  $\text{C}_3$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_3$  alkoxy,  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl;

$\text{R}^G$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl;

$\text{R}^6$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, and  $\text{C}_1$  to  $\text{C}_4$   $\text{CO}_2$ alkyl;

$\text{Q}^1$  is selected from the group consisting of S,  $\text{NR}^7$ , and  $\text{CR}^8\text{R}^9$ ;

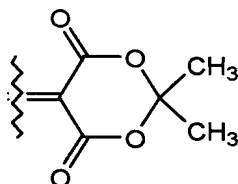
$\text{R}^7$  is selected from the group consisting of CN,  $\text{C}_1$  to  $\text{C}_6$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_6$  alkyl,  $\text{C}_3$  to  $\text{C}_8$  cycloalkyl, substituted  $\text{C}_3$  to  $\text{C}_8$  cycloalkyl, aryl, substituted aryl,

carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $\text{SO}_2\text{CF}_3$ ,  $\text{OR}^{11}$ , and  $\text{NR}^{11}\text{R}^{12}$ ;

$\text{R}^8$  and  $\text{R}^9$  are independent substituents selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_6$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_6$  alkyl,  $\text{C}_3$  to  $\text{C}_8$  cycloalkyl, substituted  $\text{C}_3$  to  $\text{C}_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $\text{NO}_2$ ,  $\text{CN}$ , and  $\text{CO}_2\text{R}^{10}$ ;

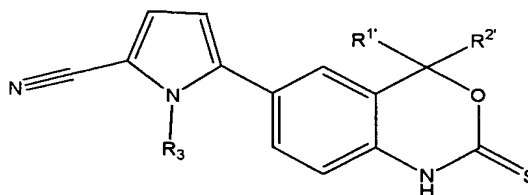
$\text{R}^{10}$  is selected from the group consisting of  $\text{C}_1$  to  $\text{C}_3$  alkyl and substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl;

or  $\text{CR}^8\text{R}^9$  comprise a six membered ring having the structure:



$\text{R}^{11}$  and  $\text{R}^{12}$  are independently selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_6$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_6$  alkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, acyl, substituted acyl, sulfonyl, and substituted sulfonyl;

and formula II is:



II

wherein:

$\text{R}^{1'}$  is selected from the group consisting of methyl, ethyl, and trifluoromethyl;

R<sup>2'</sup> is selected from the group consisting of methyl, ethyl, and trifluoromethyl; or R<sup>1'</sup> and R<sup>2'</sup> are joined to form a spirocyclic ring containing 3 to 7 carbon atoms; and R<sup>3'</sup> is selected from the group consisting of C<sub>1</sub> to C<sub>4</sub> alkyl; or a pharmaceutically acceptable salt, tautomer, metabolite, or prodrug of formula I or formula II.

2(Original). The method according to claim 1, wherein said compound of formula I or formula II and said selective estrogen receptor modulator are delivered in a single composition.

3(Original). The method according to claim 1, wherein said compound of formula I or formula II and said selective estrogen receptor modulator are delivered separately.

4(Original). The method according to claim 1, wherein said selective estrogen receptor modulator is selected from the group consisting of EM-800, EM-652, raloxifene hydrochloride, arzoxifene, lasofoxifene, droloxifene, idoxifene, levormeloxifene, centchroman, nafoxidene, tamoxifen citrate, 4-hydroxytamoxifen citrate, clomiphene citrate, toremifene citrate, pipendoxifene, and bazedoxifene.

5(Original). The method according to claim 1, wherein said compound is delivered at a daily dosage of about 0.1 to about 50 mg.

6(Original). The method according to claim 1, wherein said regimen comprises delivering said composition daily for 1 to about 21 days, wherein said regimen is a cycle which is repeated monthly.

7(Original). The method according to claim 1, wherein said selective estrogen receptor modulator is delivered at a daily dosage of about 0.2 to about 100 mg.

8(Original). The method according to Claim 1, wherein in formula I:

$R^1$  is selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $COR^A$ , and  $NR^B COR^A$ ;

$R^2$  is selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_2$  to  $C_6$  alkenyl, substituted  $C_2$  to  $C_6$  alkenyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $COR^A$ , and  $NR^B COR^A$ ;

$R^A$  is selected from the group consisting of H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl, and substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^5$  is selected from the group consisting of (iii) and (iv):

(iii) the substituted benzene ring, wherein:

X is selected from the group consisting of halogen, CN,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  thioalkyl, substituted  $C_1$  to  $C_3$  thioalkyl,  $C_1$  to  $C_3$  aminoalkyl, substituted  $C_1$  to  $C_3$  aminoalkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, 5 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $COR^D$ ,  $OCOR^D$ , and  $NR^E COR^D$ ; and

(iv) the five or six membered ring, wherein said one or two independent substituents are selected from the group consisting of H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, and  $C_1$  to  $C_3$  alkoxy;

$R^7$  is selected from the group consisting of CN,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, and  $SO_2CF_3$ .

9(Original). The method according to claim 8, wherein in formula I:

$R^1$  and  $R^2$  are independently selected from the group consisting of  $C_1$  to  $C_3$  alkyl and substituted  $C_1$  to  $C_3$  alkyl;

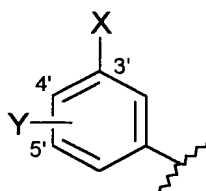
or  $R^1$  and  $R^2$  are fused to form the carbon-based 3 to 6 membered saturated spirocyclic ring;

$R^3$  is selected from the group consisting of H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, and  $COR^C$ ;

$R^C$  is selected from the group consisting of H,  $C_1$  to  $C_4$  alkyl, and  $C_1$  to  $C_4$  alkoxy;

$R^4$  is selected from the group consisting of H, halogen,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, and substituted  $C_1$  to  $C_3$  alkyl;

$R^5$  is the substituted benzene ring having the structure:



X is selected from the group consisting of halogen, CN,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  alkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, 5 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, and  $C_1$  to  $C_3$  thioalkyl.

10(Original). The method according to Claim 8, wherein in formula I:

$R^1$  and  $R^2$  are independently selected from the group consisting of  $C_1$  to  $C_3$  alkyl and substituted  $C_1$  to  $C_3$  alkyl;

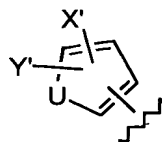
or  $R^1$  and  $R^2$  are fused to form the carbon-based 3 to 6 membered saturated spirocyclic ring;

$R^3$  is selected from the group consisting of H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, and  $COR^C$ ;

$R^C$  is selected from the group consisting of H,  $C_1$  to  $C_4$  alkyl, and  $C_1$  to  $C_4$  alkoxy;

$R^4$  is selected from the group consisting of H, halogen,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, and substituted  $C_1$  to  $C_3$  alkyl;

$R^5$  is the five membered ring having the structure:



U is selected from the group consisting of O, S, and  $NR^5$ ;

$X'$  is selected from the group consisting of halogen, CN,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  alkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, 5 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, and  $C_1$  to  $C_3$  thioalkyl;

$Y'$  is selected from the group consisting of H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_4$  alkyl, and  $C_1$  to  $C_3$  thioalkyl.

11(Original). The method according to claim 8, wherein in formula I:

$R^1$  and  $R^2$  are independently selected from the group consisting of  $C_1$  to  $C_3$  alkyl and substituted  $C_1$  to  $C_3$  alkyl;

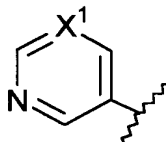
or  $R^1$  and  $R^2$  are fused to form the carbon-based 3 to 6 membered saturated spirocyclic ring;

$R^3$  is selected from the group consisting of H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, and  $COR^C$ ;

$R^C$  is selected from the group consisting of H,  $C_1$  to  $C_4$  alkyl, and  $C_1$  to  $C_4$  alkoxy;

$R^4$  is selected from the group consisting of H, halogen,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, and substituted  $C_1$  to  $C_3$  alkyl;

$R^5$  is the six membered ring having the structure:



$X^1$  is selected from the group consisting of N and  $CX^2$ ;

$X^2$  is selected from the group consisting of halogen, CN, and  $NO_2$ .



12(Original). The method according to claim 1, wherein in formula I  $R^3$  is H and  $Q^1$  is S.

13(Original). The method according to claim 1, wherein in formula I:

$R^1$  and  $R^2$  are independent substituents selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_2$  to  $C_6$  alkenyl, substituted  $C_2$  to  $C_6$  alkenyl,  $C_2$  to  $C_6$  alkynyl, substituted  $C_2$  to  $C_6$  alkynyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, and substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms.

14(Original). The method according to claim 1, wherein in formula I:  $R^1$  and  $R^2$  are fused to form a carbon-based 3 to 6 membered saturated spirocyclic ring.

15(Original). The method according to claim 1, wherein in formula I:  $R^1$  and  $R^2$  are fused to form a carbon-based 3 to 6 membered spirocyclic ring having one or more carbon-carbon double bonds.

16(Original). The method according to claim 1, wherein in formula I:  $R^1$  and  $R^2$  are fused to form a 3 to 6 membered spirocyclic ring having in its backbone one to three heteroatoms.

Claims 17-24 (Canceled).

25(Original). The method according to claim 1 wherein said compound of formula I is selected from the group consisting of 6-(3-Chlorophenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-thione, 4-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile, 3-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluorobenzonitrile, 3-(4,4-Dimethyl-2-thioxo-

1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile, 6-(3-fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-4-methylthiophene-2-carbonitrile, tert-Butyl 2-cyano-5-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1H-pyrrole-1-carboxylate, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1H-pyrrole-2-carbonitrile, [6-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-pyridin-2-yl]acetonitrile, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1-methyl-1H-pyrrole-2-carbonitrile, 5-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1H-pyrrole-2-carbothiamide, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)thiophene-3-carbonitrile, 5-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1-ethyl-1H-pyrrole-2-carbonitrile, 4-(1,2-Dihydro-2-thioxospiro[4H-3,1-benzoxazin-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile, 6-(5-Bromopyridin-3-yl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Chloro-5-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Bromo-5-methylphenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Bromo-5-trifluoromethoxyphenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 3-(1,2-Dihydro-2-thioxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-5-fluorobenzonitrile, 3-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-methylbenzonitrile, 6-(3,5-Dichlorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 5-(4,4-Dimethyl-1,2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)isophthalonitrile, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-furonitrile, 4,4-Diethyl-6-(3-nitrophenyl)-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Chlorophenyl)-4-methyl-4-phenyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 4-Allyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 3-Chloro-5-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)benzonitrile, 6-(3,5-Difluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Fluoro-5-methoxyphenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 3-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-methoxybenzonitrile, 6-(3-Fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-

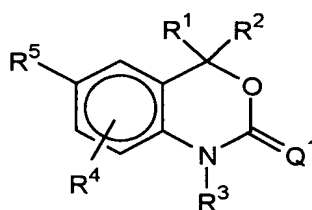
2-thione, 6-[3-Fluoro-5-(trifluoromethyl)phenyl]-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(2-Fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3,4-Difluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(4-Fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 3-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-4-fluorobenzonitrile, 6-(2,3-Difluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 3-(8-Bromo-4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile, 4,4-Dimethyl-6-(3-nitrophenyl)-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Chlorophenyl)-4,4-diethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Methoxyphenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(2-Chlorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 4-Benzyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 6-(3-Bromo-5-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)thiophene-2-carbonitrile, 3-Fluoro-5-(8-fluoro-4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)benzonitrile, 3-(1,2-Dihydro-2-thioxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)benzonitrile, 5-(1,2-Dihydro-2-thioxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-4-methyl-2-thiophenecarbonitrile, 5-(1,2-Dihydro-2-thioxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile, 6-(3-Chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-4-propylthiophene-2-carbonitrile, 4-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-furonitrile, 4-Butyl-5-(4,4-dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)thiophene-2-carbonitrile, 6-(3-Bromophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione, and 2-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)thiophene-3-carbonitrile, or a pharmaceutically acceptable salt, tautomer, metabolite, or prodrug thereof.

26(Original). The method according to claim 1, wherein said compound of formula I is 5-(4,4-Dimethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1-methyl-

1H-pyrrole-2-carbonitrile or a pharmaceutically acceptable salt, tautomer, metabolite, or prodrug thereof.

27(Original). The method according to claim 1, wherein said compound of formula II is selected from the group consisting of: 5-(4-ethyl-4-methyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1-methyl-1H-pyrrole-2-carbonitrile, 5-(4,4-diethyl-2-thioxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-1-methyl-1H-pyrrole-2-carbonitrile, 1-methyl-5-(2-thioxo-1,2-dihydrospiro[3,1-benzoxazine-4,1'-cyclobutan]-6-yl)-1H-pyrrole-2-carbonitrile, 1-methyl-5-(2-thioxo-1,2-dihydrospiro[3,1-benzoxazine-4,1'-cyclohexan]-6-yl)-1H-pyrrole-2-carbonitrile, 1-methyl-5-(2-thioxo-1,2-dihydrospiro[3,1-benzoxazine-4,1'-cyclopentan]-6-yl)-1H-pyrrole-2-carbonitrile, 1-methyl-5-[2-thioxo-4,4-bis(trifluoromethyl)-1,4-dihydro-2H-3,1-benzoxazine-6-yl]-1H-pyrrole-2-carbonitrile, and prodrugs, metabolites, and pharmaceutically acceptable salts thereof.

28(Currently Amended). A pharmaceutical kit useful for inducing contraception or hormone replacement therapy, said kit comprising a compound of formula I or formula II and at least one selective estrogen receptor modulator, wherein formula I is:



I

wherein:

$R^1$  and  $R^2$  are independent substituents selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_2$  to  $C_6$  alkenyl, substituted  $C_2$  to  $C_6$  alkenyl,  $C_2$  to  $C_6$  alkynyl, substituted  $C_2$  to  $C_6$  alkynyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1

to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $\text{COR}^A$ , and  $\text{NR}^B\text{COR}^A$ ;

or  $\text{R}^1$  and  $\text{R}^2$  are fused to form a ring selected from the group consisting of a), b) and c), wherein said ring is optionally substituted by from 1 to 3 substituents selected from the group consisting of H and  $\text{C}_1$  to  $\text{C}_3$  alkyl;

a) a carbon-based 3 to 8 membered saturated spirocyclic ring;

b) a carbon-based 3 to 8 membered spirocyclic ring having one or more carbon-carbon double bonds; and

c) a 3 to 8 membered spirocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N;

$\text{R}^A$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl, aryl, substituted aryl,  $\text{C}_1$  to  $\text{C}_3$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_3$  alkoxy, amino,  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  aminoalkyl;

$\text{R}^B$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_3$  alkyl, and substituted  $\text{C}_1$  to  $\text{C}_3$  alkyl;

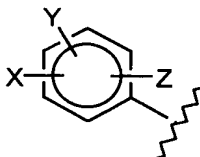
$\text{R}^3$  is selected from the group consisting of H, OH,  $\text{NH}_2$ ,  $\text{C}_1$  to  $\text{C}_6$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_6$  alkyl,  $\text{C}_3$  to  $\text{C}_6$  alkenyl, substituted  $\text{C}_3$  to  $\text{C}_6$  alkenyl, alkynyl, substituted alkynyl, and  $\text{COR}^C$ ;

$\text{R}^C$  is selected from the group consisting of H,  $\text{C}_1$  to  $\text{C}_4$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_4$  alkyl, aryl, substituted aryl,  $\text{C}_1$  to  $\text{C}_4$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_4$  alkoxy,  $\text{C}_1$  to  $\text{C}_4$  aminoalkyl, and substituted  $\text{C}_1$  to  $\text{C}_4$  aminoalkyl;

$\text{R}^4$  is selected from the group consisting of H, halogen, CN,  $\text{NO}_2$ ,  $\text{C}_1$  to  $\text{C}_6$  alkyl, substituted  $\text{C}_1$  to  $\text{C}_6$  alkyl,  $\text{C}_1$  to  $\text{C}_6$  alkoxy, substituted  $\text{C}_1$  to  $\text{C}_6$  alkoxy,  $\text{C}_1$  to  $\text{C}_6$  aminoalkyl, and substituted  $\text{C}_1$  to  $\text{C}_6$  aminoalkyl;

$\text{R}^5$  is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the structure:



X is selected from the group consisting of halogen, CN, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> thioalkyl, substituted C<sub>1</sub> to C<sub>3</sub> thioalkyl, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, substituted C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, COR<sup>D</sup>, OCOR<sup>D</sup>, and NR<sup>E</sup>COR<sup>D</sup>;

R<sup>D</sup> is selected from the group consisting of H, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, aryl, substituted aryl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, and substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl;

R<sup>E</sup> is selected from the group consisting of H, C<sub>1</sub> to C<sub>3</sub> alkyl, and substituted C<sub>1</sub> to C<sub>3</sub> alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>4</sub> alkyl, substituted C<sub>1</sub> to C<sub>4</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> thioalkyl, and substituted C<sub>1</sub> to C<sub>3</sub> thioalkyl; and

b)(ii) a five or six membered carbon-based heterocyclic ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO, SO<sub>2</sub>, and NR<sup>6</sup> and having one or two independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>4</sub> alkyl, substituted C<sub>1</sub> to C<sub>4</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl, C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, substituted C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted 5 or 6 membered carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, C<sub>1</sub> to C<sub>3</sub> thioalkyl, substituted C<sub>1</sub> to C<sub>3</sub> thioalkyl, COR<sup>F</sup>, and NR<sup>G</sup>COR<sup>F</sup>;

R<sup>F</sup> is selected from the group consisting of H, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, aryl, substituted aryl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, and substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl;

R<sup>G</sup> is selected from the group consisting of H, C<sub>1</sub> to C<sub>3</sub> alkyl, and substituted C<sub>1</sub> to C<sub>3</sub> alkyl;

$R^6$  is selected from the group consisting of H,  $C_1$  to  $C_3$  alkyl, and  $C_1$  to  $C_4$   $CO_2$ alkyl;

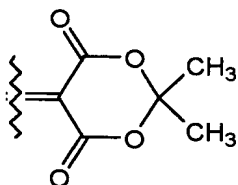
$Q^1$  is selected from the group consisting of S,  $NR^7$ , and  $CR^8R^9$ ;

$R^7$  is selected from the group consisting of CN,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $SO_2CF_3$ ,  $OR^{11}$ , and  $NR^{11}R^{12}$ ;

$R^8$  and  $R^9$  are independent substituents selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms,  $NO_2$ , CN, and  $CO_2R^{10}$ ;

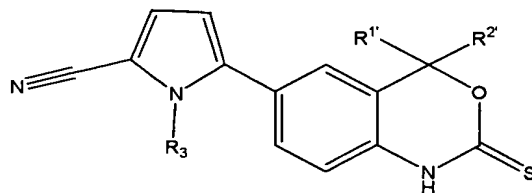
$R^{10}$  is selected from the group consisting of  $C_1$  to  $C_3$  alkyl and substituted  $C_1$  to  $C_3$  alkyl;

or  $CR^8R^9$  comprise a six membered ring having the structure:



$R^{11}$  and  $R^{12}$  are independently selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, aryl, substituted aryl, carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, substituted carbon-based heterocyclic ring having in its backbone 1 to 3 heteroatoms, acyl, substituted acyl, sulfonyl, and substituted sulfonyl;

and formula II is:



II

wherein:

$R^{1'}$  is selected from the group consisting of methyl, ethyl, and trifluoromethyl;

$R^{2'}$  is selected from the group consisting of methyl, ethyl, and trifluoromethyl; or

$R^{1'}$  and  $R^{2'}$  are joined to form a spirocyclic ring containing 3 to 7 carbon atoms;

and  $R^{3'}$  is ~~selected from the group~~  $C_1$  to  $C_4$  alkyl;

and

a pharmaceutically acceptable salt, tautomer, metabolite, or prodrug thereof.